

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
28 April 2005 (28.04.2005)

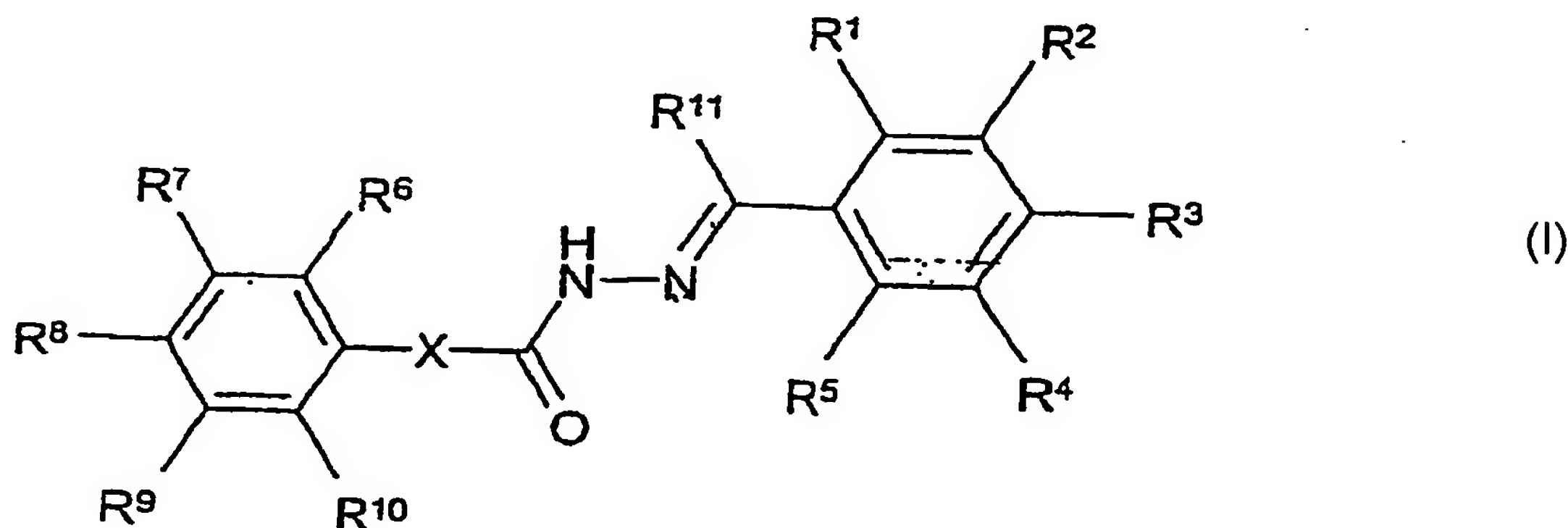
PCT

(10) International Publication Number:
WO 2005/037773 A1

- (51) International Patent Classification⁷: **C07C 251/86**
- (21) International Application Number: **PCT/EP2004/010398**
- (22) International Filing Date:
16 September 2004 (16.09.2004)
- (25) Filing Language: German
- (26) Publication Language: German
- (30) Priority Data:
103 46 913.3 9 October 2003 (09.10.2003) DE
- (71) Applicant (for all designated States except US): **MERCK PATENT GMBH** [DE/DE]; Frankfurter Str. 250, 64293 Darmstadt (DE).
- (72) Inventors; and
(75) Inventors/Applicants (for US only): **GERICKE, Rolf** [DE/DE]; Mozartstrasse 19, 64342 Seeheim-Jugenheim (DE). **BEIER, Norbert** [DE/DE]; Maximilian-Kolbe-Strasse 11, 64354 Reinheim (DE). **PÖSCHKE, Oliver** [DE/DE]; Dahlienweg 25, 65201 Wiesbaden (DE). **BURGDORF, Lars** [DE/DE]; Gabelsbergerstrasse 21, 60389 Frankfurt am Main (DE). **DROSDAT, Helga** [DE/DE]; Im Vordersberg 12, 64646 Heppenheim (DE). **LANG, Florian** [DE/DE]; Im Rotbad 52, 72076 Tübingen (DE).
- (74) Common Representative **MERCK PATENT GMBH**; Frankfurter Str. 250, 64293 Darmstadt (DE).
- (81) Designated states (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,

[continued overleaf]

(54) Title: **ACYLHYDRAZONE DERIVATIVES AND THE USE THEREOF IN THE INHIBITION, REGULATION AND/OR MODULATION OF KINASE SIGNAL TRANSDUCTION**



(57) Abstract: Acylhydrazone derivatives of the formula (I) are SGK inhibitors and can be used for the treatment of SGK-induced diseases and conditions, such as diabetes, obesity, metabolic syndrome (dyslipidaemia), systemic and pulmonary hypertonia, cardiovascular diseases and renal diseases, generally in any type of fibrosis and inflammatory process. In which R¹, R⁵ each, independently of one another, H, OH, OA, OAc or methyl, R², R³, R⁴, R⁶, R⁷, R⁸, R⁹, R¹⁰ each, independently of one another, H, OH, OA, OAc, OCF₃, Hal, NO₂, CF₃, A, CN, OSO₂CH₃, SO₂CH₃, NH₂ or COOH, R¹¹ H or CH₃, A alkyl having 1, 2, 3 or 4 C atoms, X CH₂, CH₂CH₂, OCH₂ or -CH(OH)-, Hal F, Cl, Br or I.

ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) **Designated states** (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- *with international search report*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.